

10/537, 495

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NEWS	3	OCT 07 EPFULL enhanced with full implementation of EPC2000
NEWS	4	OCT 07 Multiple databases enhanced for more flexible patent number searching
NEWS	5	OCT 22 Current-awareness alert (SDI) setup and editing enhanced
NEWS	6	OCT 22 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications
NEWS	7	OCT 24 CHEMLIST enhanced with intermediate list of pre-registered REACH substances
NEWS	8	NOV 21 CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS	9	NOV 26 MARPAT enhanced with FSORT command
NEWS	10	NOV 26 MEDLINE year-end processing temporarily halts availability of new fully-indexed citations
NEWS	11	NOV 26 CHEMSAFE now available on STN Easy
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NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,  
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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=> file reg  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
ENTRY SESSION  
FILL ESTIMATED COST 0 21 0 21

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10/537,495

STRUCTURE FILE UPDATES: 12 DEC 2008 HIGHEST RN 1083471-57-1  
DICTIONARY FILE UPDATES: 12 DEC 2008 HIGHEST RN 1083471-57-1

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$\Rightarrow$   $\frac{1}{\pi} \int_{-\pi}^{\pi} \sin(\lambda x) \sin(\mu x) dx = \frac{1}{2} \delta_{\lambda\mu}$

#### STRUCTURE URL ORDER

=> Uploading c:\program files\stnexpr\queries\10537495.str

### 1.3 STRUCTURE UPLOADED

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=> s 11 full
FULL SEARCH INITIATED 15:50:26 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      55 TO ITERATE
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100.0% PROCESSED      55 ITERATIONS      2 ANSWERS  
SEARCH TIME: 00:00:01

1.3 2 SEA SSS FUL 1.1

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=> s 12 full
FULL SEARCH INITIATED 15:50:32 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -          1 TO ITERATE
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100.0% PROCESSED            1 ITERATIONS            1 ANSWERS  
SEARCH TIME: 00.00.01

1.4 1 SEA SSS FUL 1.2

=> file caplus  
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SINCE FILE ENTRY TOTAL  
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FILE 'CAPLUS' ENTERED AT 15:50:36 ON 15 DEC 2008  
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FILE COVERS 1907 - 15 Dec 2008 VOL 149 ISS 25  
FILE LAST UPDATED: 14 Dec 2008 (20081214/ED)

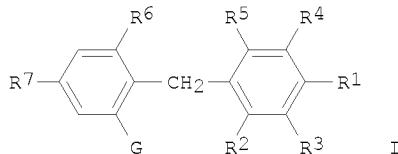
Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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=> s 13          1 L3
L5
=> s 14          1 L4
L6
=> s 15 or 16    2 L5 OR L6
L7
=> d bib abs hitstr 1-2 17
L7  ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2008 ACS on STN
AN  2004:568609  CAPLUS
DN  141:117169
TI  Human SGLT1 inhibitors containing benzylphenyl glucopyranoside or
     galactopyranoside derivatives
IN  Yonekubo, Shigeru; Shimizu, Kazuo; Shibasaki, Toshihide; Tomae, Masaki;
     Isaji, Masayuki
PA  Kisssei Pharmaceutical Co., Ltd., Japan
SO  Jpn. Kokai Tokkyo Koho, 90 pp.
     CODEN: JKXXAF
DT  Patent
LA  Japanese
FAN.CNT 1
PATENT NO.      KIND   DATE      APPLICATION NO.      DATE
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PI  JP 2004196788  A  20040715  JP 2003-404247  20031203
PRAI JP 2002-352251  A  20021204
OS  MARPAT 141:117169
GI
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AB The invention provides human glucose-sodium cotransporter (SGLT1) inhibitors containing benzylphenol derivative represented by the following general formula I [R1 = OH, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, hydroxy(C1-6 alkyl), etc.; R2 = H, C1-6 alkyl, C1-6 alkoxy, phenoxy, phenylthio, phenylamino, halogen; R3, R4, R5 = H, C1-6 alkyl, C1-6 alkoxy, halogen; R6 = H, C1-6 alkyl; R7 = H, OH, amino, mono/di(C1-6 alkyl)amino, C1-6 alkyl, C1-6 alkoxy, hydroxy(C1-6 alkyl), carbamoyl(C1-6 alkyl); G =  $\beta$ -D-glucopyranosyl,  $\beta$ -D-galactopyranosyl] and pharmacol.

acceptable salts or prodrugs thereof. A compound

5-hydroxy-3-methyl-2-[4-[(E)-2-[2-(sulfamoylamino)ethylcarbamoyl]vinyl]benzyl]phenyl

$\beta$ -D-glucopyranoside was prepared, and tested for its effect on human SGLT1 activity in vitro, and on blood glucose level in rats.

IT 721969-17-1P

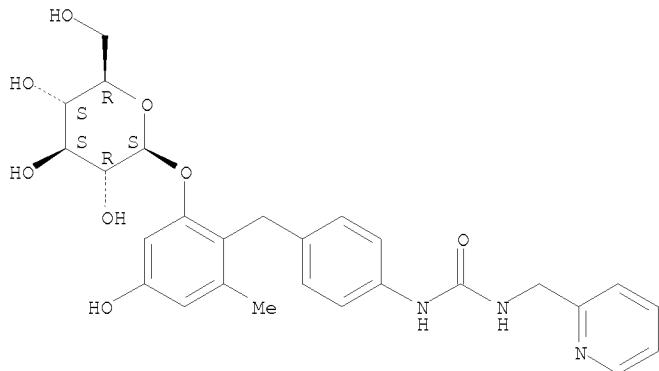
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(human SGLT1 inhibitors containing benzylphenyl glucopyranoside or galactopyranoside derivs.)

RN 721969-17-1 CAPLUS

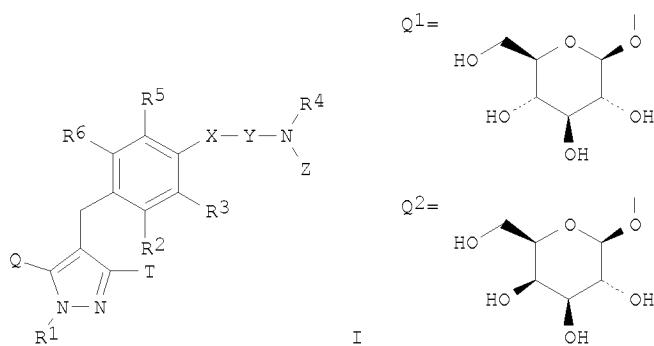
CN Urea, N-[4-[[2-( $\beta$ -D-glucopyranosyloxy)-4-hydroxy-6-methylphenyl]methyl]phenyl]-N'-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2004:182896 CAPLUS  
DN 140:236000  
TI Preparation of 4-benzylpyrazolyl glucopyranosides and galactopyranoside derivatives as sodium-glucose cotransporter (SGLT1) inhibitors, medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof  
IN Fushimi, Nobuhiko; Shimizu, Kazuo; Yonekubo, Shigeru; Teranishi, Hirotaka; Tomae, Masaki; Isaji, Masayuki  
PA Kissei Pharmaceutical Co., Ltd., Japan  
SO PCT Int. Appl., 270 pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004018491	A1	20040304	WO 2003-JP10551	20030821
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	JP 2004137245	A	20040513	JP 2002-324076	20021107
	CA 2496329	A1	20040304	CA 2003-2496329	20030821
	AU 2003262263	A1	20040311	AU 2003-262263	20030821
	EP 1548024	A1	20050629	EP 2003-792760	20030821
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003013694	A	20050705	BR 2003-13694	20030821
	CN 1688597	A	20051026	CN 2003-824499	20030821
	ZA 2005001549	A	20060726	ZA 2005-1549	20030821
	NZ 538423	A	20070223	NZ 2003-538423	20030821
	US 20050272669	A1	20051208	US 2005-525197	20050222
	MX 2005PA02129	A	20050603	MX 2005-PA2129	20050223
	NO 2005001411	A	20050426	NO 2005-1411	20050317
	IN 2007DN07100	A	20071012	IN 2007-DN7100	20070913
PRAI	JP 2002-244381	A	20020823		
	JP 2002-324076	A	20021107		
	WO 2003-JP10551	W	20030821		
	IN 2005-DN666	A3	20050221		
OS	MARPAT	140:236000			
GI					



**AB** Pyrazole derivs. represented by the general formula (I) [R1 = H, C1-6 alkyl, C2-6 alkenyl, hydroxy-C2-6 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-6 alkyl, each (un)substituted aryl or aryl-C1-6 alkyl; one of Q and T = Q1 or Q2 and the other = C1-6 alkyl, halo-C1-5 alkyl, C1-6 alkoxy-C1-6 alkyl, C3-7 cycloalkyl; R2 = H, halo, OH, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, halo-C1-6 alkyl, halo-C1-6 alkoxy, C1-6 alkoxy-C1-6 alkoxy, C3-7 cycloalkyl-C2-6 alkoxy, etc.; X = a single bond, O, S; Y = optionally hydroxy-substituted C1-6 alkylene or C2-6 alkenylene; Z = RB, CORC, SO2RC, CO(RD)RE, SO2NHRF, C(:NRG)N(RH)RI; wherein RC = each (un)substituted aryl, heteroaryl, or C1-6 alkyl; R4, RB, RD, RE, RF = H, each (un)substituted aryl, heteroaryl, or C1-6 alkyl; NR4RB or NRDRE together forms (un)substituted C2-6 cyclic amino; RG, RH, RI = H, (un)substituted C1-6 alkyl, etc.; R3, R5, R6 = H, halo, C1-6 alkyl, C1-6 alkoxy] or pharmacol. acceptable salts thereof are prepared. These compds. have excellent human SGLT1 inhibitory activity and are useful as preventives or therapeutic agents for diseases attributable to hyperglycemia such as diabetes, impaired glucose tolerance, fasting blood sugar abnormality, complications of diabetes, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, ischemic heart failure, edema, hyperuricemia, and gout and for diseases attributable to an increased blood galactose level such as galactosemia. For example, 3-( $\beta$ -D-glucopyranosyloxy)-4-[[4-[3-(2-hydroxy-1,1-dimethylethyl)ureido]propoxy]-2-methylphenyl]methyl]-5-isopropyl-1H-pyrazole in vitro inhibited the uptake of [<sup>14</sup>C]methyl  $\alpha$ -D-glucopyranoside in CHO-K1 cells expressing human SGLT1 with IC<sub>50</sub> of 19 nM. For another example, 3-( $\beta$ -D-glucopyranosyloxy)-4-[[4-(2-guanidinoethoxy)-2-methylphenyl]methyl]-5-isopropyl-1H-pyrazole at 1 mg/kg p.o. lowered the serum glucose concentration from 303±63 (control) to 165±17 mg/dL after 1 h in rats with streptozotocin-induced diabetes.

**IT** 666842-40-6P 666842-61-1P

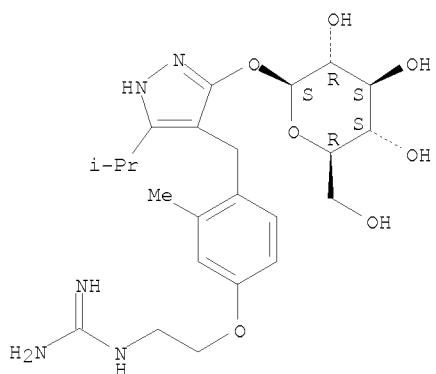
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzylpyrazolyl glucopyranosides and galactopyranosides as sodium-glucose cotransporter (SGLT1) inhibitors for prevention or treatment of diseases attributable to hyperglycemia or galactosemia)

**RN** 666842-40-6 CAPLUS

**CN** Guanidine, [2-[4-[[3-( $\beta$ -D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]ethyl]- (9CI) (CA INDEX NAME)

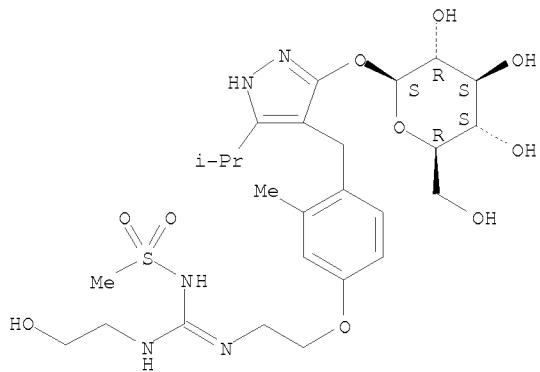
Absolute stereochemistry.



RN 666842-61-1 CAPLUS

CN Methanesulfonamide, N-[[[2-[4-[[3-((β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl)methyl]-3-methylphenoxy]ethyl]amino][(2-hydroxyethyl)amino]methylene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT